

SMC 70539

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CLAIMS

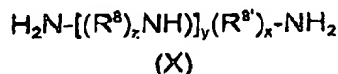
1. A method for preparing a solid support material for carrying out a chemical reaction, said method comprising the following steps:

- (i) reacting an amino functionalised solid material with a carboxylic acid having at least two similarly protected amino groups to form amide bonds between them,
- (ii) removing protecting groups in a single step,
- (iii) optionally repeating steps (i) and (ii) one or more times using the product of the preceding step as the amino functionalised solid material, and
- (iv) connecting a linkage agent to at least some of the free NH_2 groups of the product.

2. A method according to claim 1 wherein the said carboxylic acid comprises an amino acid.

3. A method according to claim 2 wherein the amino acid is lysine or ornithine.

4. A method according to claim 1 or claim 2 wherein the amino functionalised solid material is obtained by reacting an acid or ester substituted support with a compound of formula (X)

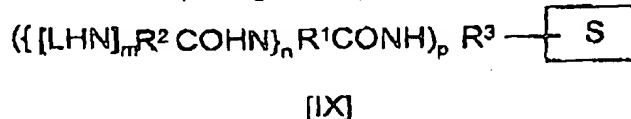


where x is an integer of 2 or more, y is 0 or an integer of 1 or more, and each group z is independently 2 or more, and each R^a and R^b are the same or different and are optionally substituted divalent hydrocarbyl groups.

5. A method according to claim 4 wherein the compound of formula (X) is ethylene diamine.

6. A solid support material obtainable by the method of any one of the preceding claims.

7. A solid support material comprising a compound of formula (IX)



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wherein S is a solid polymer core;

R¹ is an organic moiety with n + 1 available points for bonding;

R² is an organic moiety with m + 1 available points for bonding;

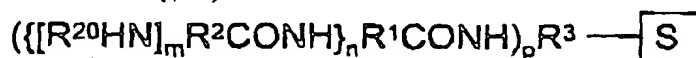
R³ is either a bond or an organic bridging group;

L is a linkage agent, or a protected form thereof;

p is an integer of 1 or more, provided that p is 1 when R³ is a bond; and

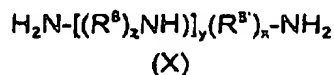
n and m are independently selected from integers of 2 or more.

8. A compound of formula (XIII)



XIII

wherein S, R¹, R², n, m and p are as defined in claim 7, R³ is either a bond or an organic bridging group formed by reaction of acid or ester functionalities at the surface of S with an amine of formula (X)



where x is an integer of 2 or more, y is 0 or an integer of 1 or more, each group z is independently 2 or more, and each R^a and R^b are the same or different and are optionally substituted divalent hydrocarbyl groups and R²⁰ is hydrogen or an amino protecting group.

9. A method for preparing a compound, which method comprises binding a reagent to a linkage agent of a support material according to claim 6 or claim 7, effecting one or more reaction steps to generate product, and thereafter cleaving said product from the support material.

10. A method according to claim 9 wherein the product is a therapeutic peptide.

11. A method according to claim 10, wherein the therapeutic peptide is



or a pharmaceutically acceptable salt thereof.

12. A method for preparing a peptide which comprises coupling a protected amino acid to a linkage agent immobilised on a solid support, deprotecting the amino acid and thereafter

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coupling a further protected amino acid to said first amino acid and repeating said process until the desired peptide is produced, and thereafter cleaving the peptide from the solid support, characterised in that, where the amino acid is a protected 4-aminophenyl acetic acid (PAPA), the coupling agent is 2-(1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate (TBTU) and the coupling is effected in the presence of diisopropylethylamine (DIPEA).

13. A method according to claim 12, wherein for couplings where the amino acid is other than a protected 4-aminophenyl acetic acid (PAPA) a coupling reagent comprising a carbodlimide is employed in the presence of a compound that forms an active ester.

14. A method according to claim 12 or 13, wherein the solid support comprises a solid support material according to claim 6 or claim 7.

AMENDED SHEET

Empfangszeit 23.Mai. 11:30